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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: DIAZABICYCLONONENE DERIVATIVES

(57) Abstract: The invention relates to novel 3,9-diazabicyclo[3.3.1]nonene derivatives and related compounds and their use as active ingredients in the preparation of pharmaceutical compositions. The invention also concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more of those compounds and especially their use as inhibitors of renin.

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CLASSIFICATION OF SUBJECT MATTER PC 7 C07D471/08 A61K A61P9/12 A61K31/4995 A61P13/12 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 CO7D Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the International search (name of data base and, where practical, search terms used) EPO-Internal, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Relevant to claim No. Citation of document, with indication, where appropriate, of the relevant passages Α WO 97/09311 A (HOFFMANN LA ROCHE) 1,9-1113 March 1997 (1997-03-13) cited in the application claims 1,24,24 US 3 509 161 A (DOLD OTTO ET AL) 1,9-11 Α 28 April 1970 (1970-04-28) column 2, line 49 - line 61; examples; Further documents are listed in the continuation of box C. Patent family members are listed in annex. Х Special categories of cited documents: *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention *A* document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the International "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such docu-O' document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled in the art. document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of mailing of the International search report Date of the actual completion of the international search 17/12/2004 9 December 2004 Name and mailing address of the ISA Authorized officer European Patent Office, P.B. 5818 Patentiaan 2 Tel. (+31–70) 340–3016 Fax: (+31–70) 340–3016 Hass, C

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ategory *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	Citation of document, with indication, where appropriate, of the relevant passages	Rejevant to ciam No.
1	EL-ABBADY S A ET AL: "ENHANCED REACTIVITY OF PYRIDIN-3-OL TOWARDS 4-PHENYL-1,2,4-TRIAZOLINE 3,5-DIONE: FMO TREATMENT OF THE CYCLOADDITION PROCESS BY ASED-MO CALCULATIONS METHOD" ZAGAZIG JOURNAL OF PHARMACEUTICAL SCIENCES, FACULTY OF PHARMACY ZAGAZIG UNIVERSITY, CAIRO, EG, vol. 5, no. 1, June 1996 (1996-06), pages 68-74, XP001154254 ISSN: 1110-5089 scheme 1, compounds (4) and (5)	
A,P	WO 03/093267 A (REMEN LUBOS; WELLER THOMAS (CH); BUR DANIEL (CH); FISCHLI WALTER (CH)) 13 November 2003 (2003-11-13) cited in the application claims 1,9-12	1,9-12
A, P	WO 2004/002957 A (REMEN LUBOS; WELLER THOMAS (CH); BUR DANIEL (CH); FISCHLI WALTER (CH)) 8 January 2004 (2004-01-08) cited in the application claims 1,7-10	1,9-12

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Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. X Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 10-12 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
Cialms Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
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1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this international Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest The additional search fees were accompanied by the applicant's protest. No protest accompanied the payment of additional search fees.

information on patent family members

Internatic application No
PCT/EP2004/004372

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 9709311	A	13-03-1997	AT		T	15-06-2003
			ΑU	708616	B2	05-08-1999
			AU	6743296	Α	27-03-1997
			BR		Α	06-07-1999
			CA		A1	13-03-1997
			CN	1202152		16-12-1998
			CZ		A3	14-10-1998
			DE		D1	10-07-2003
			DK		Т3	01-12-2003
			WO		A1	13-03-1997
			EP		A1	16-09-1998
			ES		T3	16-03-2004
			HU	9900926		28-09-1999
			IL	123293		24-06-2003
			JP		T	12-01-1999
			MA	23967		01-04-1997
			NO	980954		28-04-1998
			NZ	315677		28-02-2000
			PL		A1	20-07-1998
			PT		T	31-10-2003
			RU	2167865		27-05-2001
			TR		T1	21-05-1998
			TW	474932		01-02-2002
			US	6051712		18-04-2000
			US		A	21-11-2000
			ZA 	9607424	A 	07-03-1997
US 3509161	A	28-04-1970	NONE			
WO 03093267	Α	13-11-2003	WO	03093267	A1	13-11-2003
WO 2004002957	A	08-01-2004	WO	2004002957	A1	08-01-2004